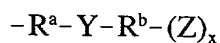


WHAT IS CLAIMED IS:

1. A glycopeptide compound having at least one substituent of the formula:



5 wherein

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

10 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

15 each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OC(O)-$, $-NR^cSO_2-$, $-OSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$ and $-NR^cSO_2NR^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

20 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

25 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

x is 1 or 2;

and pharmaceutically acceptable salts thereof;

5 provided that:

(i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(ii) when Y is $-C(O)NR^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

10 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

15 2. The compound of Claim 1, wherein the glycopeptide compound is substituted with from 1 to 3 substituents of the formula $-R^a-Y-R^b-(Z)_x$.

3. The compound of Claim 2, wherein each R^a is independently selected from alkylene having from 1 to 10 carbon atoms.

4. The compound of Claim 3, wherein R^a is ethylene or propylene.

20 5. The compound of Claim 2, wherein Z is hydrogen and R^b is alkylene of from 8 to 12 carbon atoms.

6. The compound of Claim 5, wherein R^b and Z form an *n*-octyl, *n*-nonyl, *n*-decyl, *n*-undecyl or *n*-dodecyl group.

7. The compound of Claim 2, wherein Z is aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclic and R^b is a covalent bond or alkylene of from 1 to 10 carbon atoms.

8. The compound of Claim 7, wherein Z is aryl and R^b is a covalent bond, methylene, -(CH₂)₆-, -(CH₂)₇-, -(CH₂)₈-, -(CH₂)₉- or -(CH₂)₁₀-.

9. The compound of Claim 2, wherein each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O- and -SO₂NR^c-.

10. The compound of Claim 9, wherein Y is oxygen, sulfur, -NR^c- or -NR^cSO₂-.

11. The compound of Claim 2, wherein each Z is independently selected from hydrogen, aryl, cycloalkyl, heteroaryl and heterocyclic.

12. The compound of Claim 11, wherein Z is hydrogen or aryl.

13. The compound of Claim 12, wherein Z is phenyl, substituted phenyl, biphenyl, substituted biphenyl or terphenyl.

14. The compound of Claim 2, wherein the -R^a-Y-R^b-(Z)_x group is selected from the group consisting of:

-CH₂CH₂-NH-(CH₂)₉CH₃;

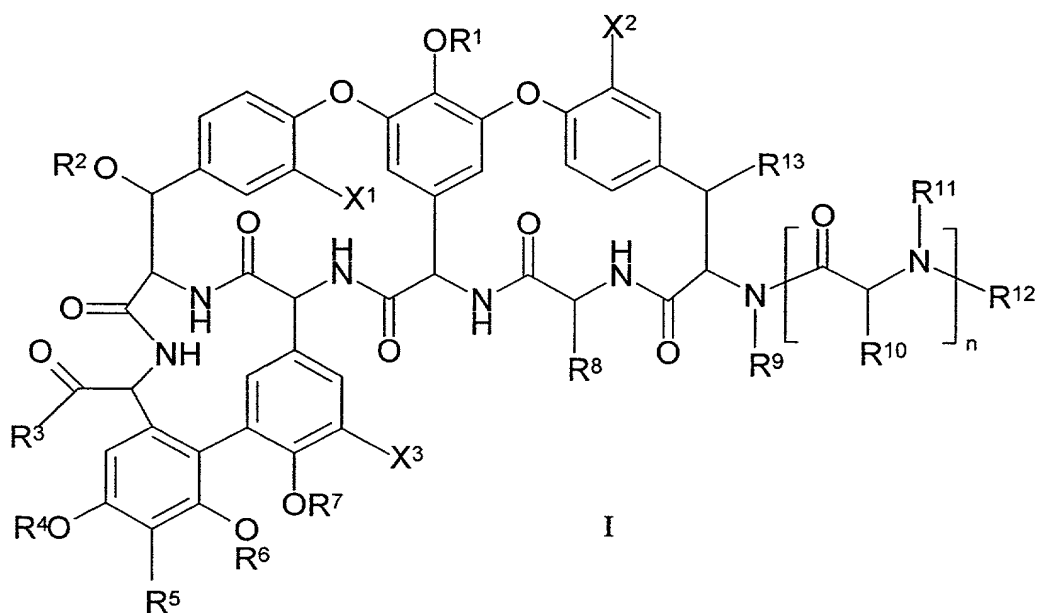
-CH₂CH₂CH₂-NH-(CH₂)₈CH₃;

-CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;

-CH₂CH₂-NHSO₂-(CH₂)₉CH₃;

- $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_{11}\text{CH}_3;$
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3;$
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3;$
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_{10}\text{CH}_3;$
5 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3;$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3;$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_3-\text{CH}=\text{CH}-(\text{CH}_2)_4\text{CH}_3$ (*trans*);
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_7\text{CH}_3;$
 $-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-(\text{CH}_2)_9\text{CH}_3;$
10 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_6\text{Ph};$
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph};$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph};$
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-[4-\text{CH}_3)_2\text{CHCH}_2-]-\text{Ph};$
15 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{CF}_3-\text{Ph})-\text{Ph};$
 $-\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$
 $-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}(\text{O})-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$
20 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-[3,4-\text{di}-\text{Cl}-\text{PhCH}_2\text{O}-)-\text{Ph};$
 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-[4-(4-\text{Ph})-\text{Ph}]-\text{Ph};$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-\text{CH}_2-4-(\text{Ph}-\text{C}\equiv\text{C}-)-\text{Ph};$
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph};$ and
25 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NHSO}_2-4-(\text{naphth-2-yl})-\text{Ph}.$

15. A compound of formula I:



wherein

5 R^1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-R^a-Y-R^b-(Z)_x$; or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

10 R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or $-O-R^c$;

15 R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo,
-CH(R^c)-NR c R c , -CH(R^c)-NR c R c and -CH(R^c)-NR c -R a -Y-R b -(Z) $_x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R a -Y-R b -(Z) $_x$,
5 -C(O)R d and a saccharide group optionally substituted with -NR c -R a -Y-R b -(Z) $_x$,
or R^5 and R^6 can be joined, together with the atoms to which they are attached,
form a heterocyclic ring optionally substituted with -NR c -R a -Y-R b -(Z) $_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R a -Y-R b -(Z) $_x$,
10 and -C(O)R d ;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and
heterocyclic;

15 R^9 is selected from the group consisting of hydrogen, alkyl, substituted
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and
heterocyclic;

20 R^{10} is selected from the group consisting of hydrogen, alkyl, substituted
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and
heterocyclic; or R^8 and R^{10} are joined to form -Ar 1 -O-Ar 2 -, where Ar 1 and Ar 2
are independently arylene or heteroarylene;

25 R^{11} is selected from the group consisting of hydrogen, alkyl, substituted
alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,
substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and
heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen
atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and
5 $-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

each R^a is independently selected from the group consisting of alkylene,
10 substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z
15 is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

20 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

25 X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$,

$-\text{P}(\text{O})(\text{OR}^c)\text{NR}^c-$, $-\text{OP}(\text{O})(\text{OR}^c)\text{O}-$, $-\text{OP}(\text{O})(\text{OR}^c)\text{NR}^c-$, $-\text{OC}(\text{O})\text{O}-$,
 $-\text{NR}^c\text{C}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{NR}^c-$, $-\text{OC}(\text{O})\text{NR}^c-$ and $-\text{NR}^c\text{SO}_2\text{NR}^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

5 n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 or R^{12} has a substituent of the formula $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$;

10 and further provided that:

(i) when Y is $-\text{NR}^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

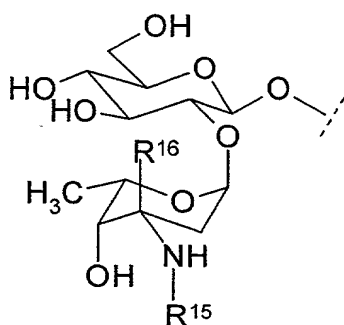
(ii) when Y is $-\text{C}(\text{O})\text{NR}^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

15 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

16. The compound of Claim 15, wherein R^1 is a saccharide group
20 optionally substituted with $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$.

17. The compound of Claim 16, wherein R^1 is a saccharide group of the formula:



wherein

R^{15} is $-R^a-Y-R^b-(Z)_x$; and

R^{16} is hydrogen or methyl.

18. The compound of Claim 17, wherein R^{15} is a $-R^a-Y-R^b-(Z)_x$ group
- 5 selected from the group consisting of:
- CH₂CH₂-NH-(CH₂)₉CH₃;
 - CH₂CH₂CH₂-NH-(CH₂)₈CH₃;
 - CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
 - CH₂CH₂-NHSO₂-(CH₂)₉CH₃;
 - 10 -CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
 - CH₂CH₂-S-(CH₂)₈CH₃;
 - CH₂CH₂-S-(CH₂)₉CH₃;
 - CH₂CH₂-S-(CH₂)₁₀CH₃;
 - CH₂CH₂CH₂-S-(CH₂)₈CH₃;
 - 15 -CH₂CH₂CH₂-S-(CH₂)₉CH₃;
 - CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);
 - CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
 - CH₂CH₂-S(O)-(CH₂)₉CH₃;
 - CH₂CH₂-S-(CH₂)₆Ph;

- CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
- 5 -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
- CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- 10 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-)-Ph;
- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- 15 -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

19. The compound of Claim 15, wherein R³ is -OH or -NR^cR^c.

20. The compound of Claim 15, wherein R⁵ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; and -CH₂-N-(2-amino-2-deoxygluconic acid).

21. The compound of Claim 15, wherein R⁸ is -CH₂C(O)NH₂, -CH₂COOH, benzyl, 4-hydroxyphenyl or 3-chloro-4-hydroxyphenyl.

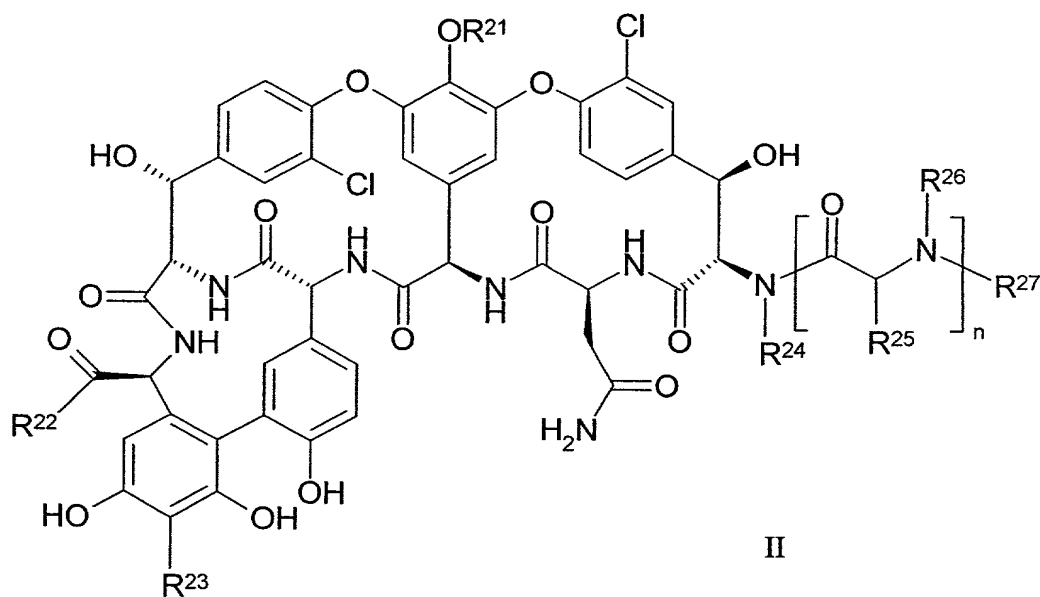
22. The compound of Claim 15, wherein R⁹ is hydrogen and R¹¹ is hydrogen or methyl.

23. The compound of Claim 22, wherein R^{10} is alkyl or substituted alkyl.

24. The compound of Claim 23, wherein R^{12} is hydrogen, alkyl, substituted alkyl or $-C(O)R^d$.

25. The compound of Claim 24, wherein n is 1.

26. A compound of formula II:



wherein

R^{21} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-R^a-Y-R^b-(Z)_x$; or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^{22} is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$ or $-NR^c-R^a-Y-R^b-(Z)_x$;

R^{23} is selected from the group consisting of hydrogen, halo,
 $-CH(R^c)-NR^cR^c$, $-CH(R^c)-R^c$ and $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$;

R^{24} is selected from the group consisting of hydrogen and lower alkyl;

5 R^{25} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{26} is selected from the group consisting of hydrogen and lower alkyl; or

10 R^{25} and R^{26} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{27} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{26} and R^{27} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

15 each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

20 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

5 R^e is an aminosaccharide group;

each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-,
10 -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c- and -NR^cSO₂NR^c-;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

15 and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof; provided that at least one of R²¹, R²², R²³ or R²⁷ has a substituent of the formula -R^a-Y-R^b-(Z)_x;

and further provided that:

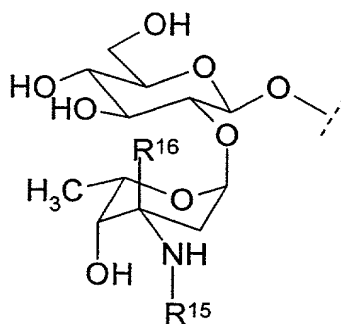
(i) when Y is -NR^c-, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen
20 and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(ii) when Y is -C(O)NR^c-, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

25 (iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

27. The compound of Claim 26, wherein R²¹ is a saccharide group of the formula:



wherein

R^{15} is $-R^a-Y-R^b-(Z)_x$, and

R^{16} is hydrogen or methyl.

28. The compound of Claim 27, wherein R^{15} is a $-R^a-Y-R^b-(Z)_x$ group
5 selected from the group consisting of:

$-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_9\text{CH}_3$;

$-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_8\text{CH}_3$;

$-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_7\text{CH}_3$;

$-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_9\text{CH}_3$;

10 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_{11}\text{CH}_3$;

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$;

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$;

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_{10}\text{CH}_3$;

$-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$;

15 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$;

$-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_3-\text{CH}=\text{CH}-(\text{CH}_2)_4\text{CH}_3$ (*trans*);

$-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_7\text{CH}_3$;

$-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-(\text{CH}_2)_9\text{CH}_3$;

$-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_6\text{Ph}$;

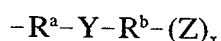
20 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph}$;

- 5
- 10
- 15
- 20
29. The compound of Claim 26, wherein R²² is -OH or -NR^cR^c.
30. The compound of Claim 26, wherein R²³ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; or -CH₂-N-(2-amino-2-deoxygluconic acid).
31. The compound of Claim 26, wherein R²⁴ is hydrogen and R²⁶ is hydrogen or methyl.
32. The compound of Claim 31, wherein R²⁵ is alkyl or substituted alkyl.
33. The compound of Claim 32, wherein R²⁵ is isobutyl.
- CH₂CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
-CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
-CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-)-Ph;
-CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
-CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

34. The compound of Claim 33, wherein R²⁷ is hydrogen, alkyl, substituted alkyl or -C(O)R^d.

35. A compound shown in any of Tables I, II, III, IV, V or VI, or a pharmaceutically-acceptable salt thereof.

5 36. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a glycopeptide compound having at least one substituent of the formula:



10 wherein

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

15 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

20 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OC(O)-, -NR^cSO₂-, -OSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c- and -NR^cSO₂NR^c-;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

x is 1 or 2;

and pharmaceutically acceptable salts thereof;

provided that:

(i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(ii) when Y is $-C(O)NR^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

37. The pharmaceutical composition of Claim 36, wherein the glycopeptide compound is substituted with from 1 to 3 substituents of the formula $-R^a-Y-R^b-(Z)_x$.

38. The pharmaceutical composition of Claim 37, wherein each R^a is independently selected from alkylene having from 1 to 10 carbon atoms.

39. The pharmaceutical composition of Claim 38, wherein R^a is ethylene or propylene.

40. The pharmaceutical composition of Claim 37, wherein Z is hydrogen and R^b is alkylene of from 8 to 12 carbon atoms.

41. The pharmaceutical composition of Claim 40, wherein R^b and Z form an *n*-octyl, *n*-nonyl, *n*-decyl, *n*-undecyl or *n*-dodecyl group.

5 42. The pharmaceutical composition of Claim 37, wherein Z is aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclic and R^b is a covalent bond or alkylene of from 1 to 10 carbon atoms.

43. The pharmaceutical composition of Claim 42, wherein Z is aryl and R^b is a covalent bond, methylene, -(CH₂)₆-, -(CH₂)₇-, -(CH₂)₈-, -(CH₂)₉- or
10 -(CH₂)₁₀-.

44. The pharmaceutical composition of Claim 37, wherein each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O- and -SO₂NR^c-.

15 45. The pharmaceutical composition of Claim 44, wherein Y is oxygen, sulfur, -NR^c- or -NR^cSO₂-.

46. The pharmaceutical composition of Claim 37, wherein each Z is independently selected from hydrogen, aryl, cycloalkyl, heteroaryl and heterocyclic.

20 47. The pharmaceutical composition of Claim 46, wherein Z is hydrogen or aryl.

48. The pharmaceutical composition of Claim 47, wherein Z is phenyl, substituted phenyl, biphenyl, substituted biphenyl or terphenyl.

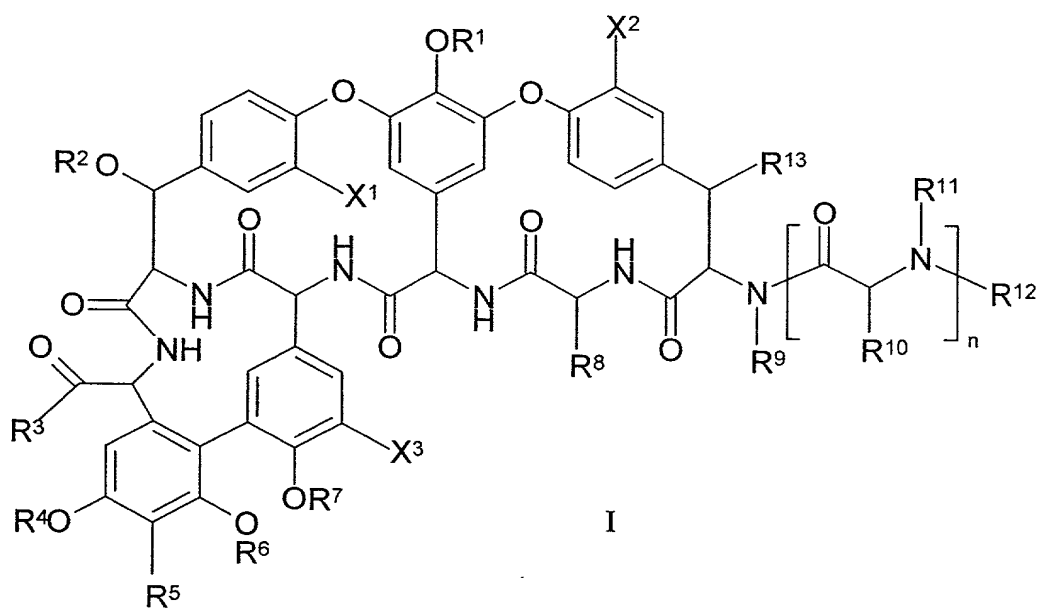
49. The pharmaceutical composition of Claim 37, wherein the $-R^a-Y-R^b-(Z)_x$ group is selected from the group consisting of:

- 5 $-\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_9\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_8\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{NH}-(\text{CH}_2)_7\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_9\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{NHSO}_2-(\text{CH}_2)_{11}\text{CH}_3$;
10 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_{10}\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_9\text{CH}_3$;
15 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_3-\text{CH}=\text{CH}-(\text{CH}_2)_4\text{CH}_3$ (*trans*);
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_7\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-(\text{CH}_2)_9\text{CH}_3$;
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_6\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph}$;
20 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-(\text{CH}_2)_8\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-[4-\text{CH}_3)_2\text{CHCH}_2-]-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{NH}-\text{CH}_2-4-(4-\text{CF}_3-\text{Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph}$;
25 $-\text{CH}_2\text{CH}_2-\text{S}(\text{O})-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}(\text{O})-\text{CH}_2-4-(4-\text{Cl}-\text{Ph})-\text{Ph}$;
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{S}-\text{CH}_2-4-[3,4-\text{di-Cl-PhCH}_2\text{O-})-\text{Ph}$;

- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

5

50. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of formula I:



10

wherein

R¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R^a-Y-R^b-(Z)_x; or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

15

R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or $-O-R^c$;

5 R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^e$ and $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$;

10 R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

15 R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

20

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

25

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and

heterocyclic; or R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

5 R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

10 R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

15 R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

20 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^c is a saccharide group;

X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

5 each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$ and $-NR^cSO_2NR^c-$;

10 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

15 provided that at least one of R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 or R^{12} has a substituent of the formula $-R^a-Y-R^b-(Z)_x$;

and further provided that:

(i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

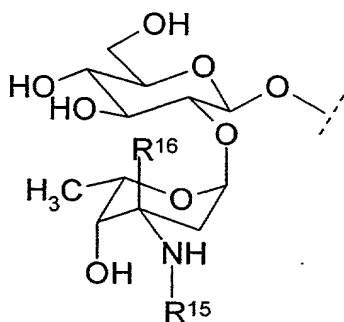
20 (ii) when Y is $-C(O)NR^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

25 (iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

51. The pharmaceutical composition of Claim 50, wherein R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$.

52. The pharmaceutical composition of Claim 51, wherein R¹ is a saccharide group of the formula:



wherein

R¹⁵ is -R^a-Y-R^b-(Z)_x; and

R¹⁶ is hydrogen or methyl.

53. The pharmaceutical composition of Claim 52, wherein R¹⁵ is a -R^a-Y-R^b-(Z)_x group selected from the group consisting of:

-CH₂CH₂-NH-(CH₂)₉CH₃;

-CH₂CH₂CH₂-NH-(CH₂)₈CH₃;

-CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;

-CH₂CH₂-NHSO₂-(CH₂)₉CH₃;

-CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;

-CH₂CH₂-S-(CH₂)₈CH₃;

-CH₂CH₂-S-(CH₂)₉CH₃;

-CH₂CH₂-S-(CH₂)₁₀CH₃;

-CH₂CH₂CH₂-S-(CH₂)₈CH₃;

-CH₂CH₂CH₂-S-(CH₂)₉CH₃;

-CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);

-CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;

- CH₂CH₂-S(O)-(CH₂)₉CH₃;
- CH₂CH₂-S-(CH₂)₆Ph;
- CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂CH₂-S-(CH₂)₈Ph;
- 5 -CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
- CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
- CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- 10 -CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-)-Ph;
- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- 15 -CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

54. The pharmaceutical composition of Claim 50, wherein R³ is -OH or -NR^cR^c.

20 55. The pharmaceutical composition of Claim 50, wherein R⁵ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; and -CH₂-N-(2-amino-2-deoxygluconic acid).

25 56. The pharmaceutical composition of Claim 50, wherein R⁸ is -CH₂C(O)NH₂, -CH₂COOH, benzyl, 4-hydroxyphenyl or 3-chloro-4-hydroxyphenyl.

5

10

60. The pharmaceutical composition of Claim 50, wherein n is 1.

II

wherein

R²¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R^a-Y-R^b-(Z)_x; or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

R²² is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x or -NR^c-R^a-Y-R^b-(Z)_x;

R²³ is selected from the group consisting of hydrogen, halo, -CH(R^c)-NR^cR^c, -CH(R^c)-R^c and -CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x;

R²⁴ is selected from the group consisting of hydrogen and lower alkyl;

R²⁵ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R²⁶ is selected from the group consisting of hydrogen and lower alkyl; or

R²⁵ and R²⁶ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R²⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x, or R²⁶ and R²⁷ are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

- 5 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is an aminosaccharide group;

- 10 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c- and -NR^cSO₂NR^c-;

- 15 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

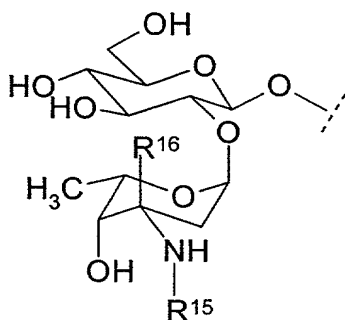
- 20 provided that at least one of R²¹, R²², R²³ or R²⁷ has a substituent of the formula -R^a-Y-R^b-(Z)_x;

and further provided that:

- (i) when Y is -NR^c-, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- 25 (ii) when Y is -C(O)NR^c-, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

62. The pharmaceutical composition of Claim 61, wherein R²¹ is a saccharide group of the formula:



5

wherein

R¹⁵ is -R^a-Y-R^b-(Z)_x, and

R¹⁶ is hydrogen or methyl.

63. The pharmaceutical composition of Claim 62, wherein R¹⁵ is a -R^a-Y-R^b-(Z)_x group selected from the group consisting of:

10

-CH₂CH₂-NH-(CH₂)₉CH₃;

-CH₂CH₂CH₂-NH-(CH₂)₈CH₃;

-CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;

-CH₂CH₂-NHSO₂-(CH₂)₉CH₃;

-CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;

15

-CH₂CH₂-S-(CH₂)₈CH₃;

-CH₂CH₂-S-(CH₂)₉CH₃;

-CH₂CH₂-S-(CH₂)₁₀CH₃;

-CH₂CH₂CH₂-S-(CH₂)₈CH₃;

- CH₂CH₂CH₂-S-(CH₂)₉CH₃;
- CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);
- CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
- CH₂CH₂-S(O)-(CH₂)₉CH₃;
- 5 -CH₂CH₂-S-(CH₂)₆Ph;
- CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
- 10 -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
- CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- 15 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-)-Ph;
- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- 20 -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

64. The pharmaceutical composition of Claim 61, wherein R²² is -OH or -NR^cR^c.

65. The pharmaceutical composition of Claim 61, wherein R²³ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -
 25 CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; or -CH₂-N-(2-amino-2-deoxygluconic acid).

66. The pharmaceutical composition of Claim 61, wherein R^{24} is hydrogen and R^{26} is hydrogen or methyl.

67. The pharmaceutical composition of Claim 66, wherein R^{25} is alkyl or substituted alkyl.

5 68. The pharmaceutical composition of Claim 67, wherein R^{25} is isobutyl.

69. The pharmaceutical composition of Claim 68, wherein R^{27} is hydrogen, alkyl, substituted alkyl or $-C(O)R^d$.

10 70. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound shown in any of Tables I, II, III, IV, V or VI, or a pharmaceutically-acceptable salt thereof.

71. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of Claim 36, 50 or 61.